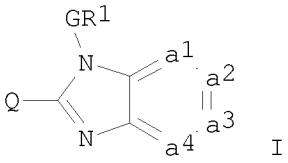
L15 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:12444 CAPLUS <<LOGINID::20080617>>
DOCUMENT NUMBER: 134:86248
TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus Marie-Jose; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand; Andries, Koenraad Jozef Lodewijk Marcel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001000611 | A1 | 20010104 | WO 2000-EP5676 | 20000620 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2376781 | A1 | 20010104 | CA 2000-2376781 | 20000620 |
| BR 2000012054 | A | 20020319 | BR 2000-12054 | 20000620 |
| EP 1196408 | A1 | 20020417 | EP 2000-943841 | 20000620 |
| EP 1196408 | B1 | 20040915 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| TR 200103804 | T2 | 20020521 | TR 2001-3804 | 20000620 |
| HU 2002001723 | A2 | 20021128 | HU 2002-1723 | 20000620 |
| HU 2002001723 | A3 | 20040428 | | |
| JP 2003503401 | T | 20030128 | JP 2001-507020 | 20000620 |
| EE 200100692 | A | 20030217 | EE 2001-692 | 20000620 |
| EE 4590 | B1 | 20060215 | | |
| NZ 515418 | A | 20031128 | NZ 2000-515418 | 20000620 |
| EP 1418175 | A1 | 20040512 | EP 2004-100543 | 20000620 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, MK, CY, AL | | | | |
| AT 276244 | T | 20041015 | AT 2000-943841 | 20000620 |
| AU 779516 | B2 | 20050127 | AU 2000-58167 | 20000620 |
| PT 1196408 | T | 20050131 | PT 2000-943841 | 20000620 |
| ES 2228559 | T3 | 20050416 | ES 2000-943841 | 20000620 |
| AP 1552 | A | 20060228 | AP 2002-2397 | 20000620 |
| W: GM, GH, KE, LS, MW, MZ, SL, SD, SZ, TZ, UG, ZM, ZW | | | | |
| SG 122814 | A1 | 20060629 | SG 2004-362 | 20000620 |
| TR 200600172 | T1 | 20070122 | TR 2006-172 | 20000620 |
| TW 248932 | B | 20060211 | TW 2000-89112477 | 20000626 |

| | | | | | |
|---|---|---|---|---|---|
| IN 2001MN01539 | A | 20050304 | IN 2001-MN1539 | | 20011206 |
| MX 2002PA00112 | A | 20020702 | MX 2002-PA112 | | 20011219 |
| HR 2001000933 | A1 | 20030630 | HR 2001-933 | | 20011219 |
| ZA 2001010478 | A | 20030320 | ZA 2001-10478 | | 20011220 |
| NO 2001006368 | A | 20020228 | NO 2001-6368 | | 20011227 |
| NO 324036 | B1 | 20070730 | | | |
| US 6924287 | B1 | 20050802 | US 2001-30202 | | 20011227 |
| BG 106287 | A | 20021031 | BG 2002-106287 | | 20020108 |
| HK 1046141 | A1 | 20060922 | HK 2002-107761 | | 20021025 |
| US 20050234047 | A1 | 20051020 | US 2005-144103 | | 20050603 |
| US 7173054 | B2 | 20070206 | | | |
| US 20050239771 | A1 | 20051027 | US 2005-144126 | | 20050603 |
| US 7173034 | B2 | 20070206 | | | |
| US 20060154913 | A1 | 20060713 | US 2006-332557 | | 20060112 |
| US 7361657 | B2 | 20080422 | | | |
| US 20070021410 | A1 | 20070125 | US 2006-519719 | | 20060911 |
| PRIORITY APPLN. INFO.: | | | EP 1999-202087 | A | 19990628 |
| | | | EP 2000-200452 | A | 20000211 |
| | | | EP 2000-943841 | A3 | 20000620 |
| | | | WO 2000-EP5676 | W | 20000620 |
| | | | US 2001-30202 | A3 | 20011227 |
| | | | US 2005-144103 | A3 | 20050603 |

OTHER SOURCE(S): MARPAT 134:86248

GI




I

AB Use of title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:N:CH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (heterocyclic) ring, etc.; A = alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H, alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.] for treatment of viral infection is claimed. Thus, 1,1-dimethylethyl 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate was refluxed 6 h in 10N HCl to give 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]piperidine. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.00013-2.5119 μM.

IT 317846-37-0P

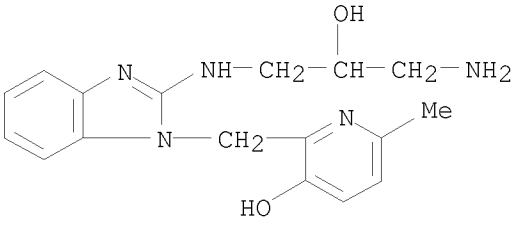
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as respiratory syncytial virus replication

inhibitors)

RN 317846-37-0 CAPLUS

CN 3-Pyridinol, 2-[[2-[(3-amino-2-hydroxypropyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)



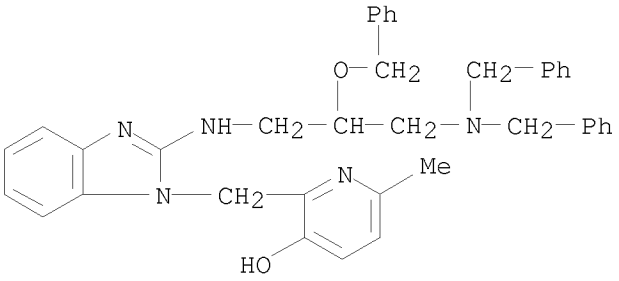
IT 317847-82-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317847-82-8 CAPLUS

CN 3-Pyridinol, 2-[[2-[[3-[bis(phenylmethyl)amino]-2-(phenylmethoxy)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT